

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	1	"6596900".pn.	US-PGPUB; USPAT; EPO	OR	ON	2006/08/25 06:11

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NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006  
NEWS 4 APR 04 STN AnaVist \$500 visualization usage credit offered  
NEWS 5 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records  
NEWS 6 MAY 11 KOREAPAT updates resume  
NEWS 7 MAY 19 Derwent World Patents Index to be reloaded and enhanced  
NEWS 8 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and  
USPATFULL/USPAT2  
NEWS 9 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS  
NEWS 10 JUN 02 The first reclassification of IPC codes now complete in  
INPADOC  
NEWS 11 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and  
and display fields  
NEWS 12 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL  
NEWS 13 JUL 11 CHEMSAFE reloaded and enhanced  
NEWS 14 JUL 14 FSTA enhanced with Japanese patents  
NEWS 15 JUL 19 Coverage of Research Disclosure reinstated in DWPI  
NEWS 16 AUG 09 INSPEC enhanced with 1898-1968 archive  
  
NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.  
  
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FILE 'HOME' ENTERED AT 06:01:18 ON 25 AUG 2006

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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0.63

FILE 'REGISTRY' ENTERED AT 06:03:09 ON 25 AUG 2006

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STRUCTURE FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4  
DICTIONARY FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

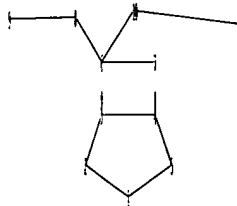
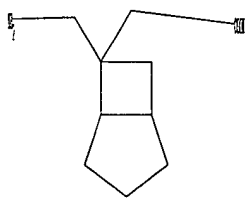
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experimental property data in the original document. For information  
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<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Documents and Settings\mgraffeo\My Documents\Critical  
Data\10726878\cmp 1.str



chain nodes :  
8 9 10 11  
ring nodes :  
1 2 3 4 5 6 7  
chain bonds :  
6-8 6-10 8-9 10-11  
ring bonds :  
1-2 1-5 2-3 3-4 3-6 4-5 4-7 6-7  
exact/norm bonds :  
1-2 1-5 2-3 3-4 3-6 4-5 4-7 6-7 8-9  
exact bonds :  
6-8 6-10 10-11

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS`  
11:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 06:03:30 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 108 TO ITERATE

100.0% PROCESSED 108 ITERATIONS  
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1537 TO 2783  
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

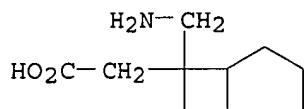
=> s l2 full  
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FULL SCREEN SEARCH COMPLETED - 2148 TO ITERATE

100.0% PROCESSED 2148 ITERATIONS 9 ANSWERS  
SEARCH TIME: 00.00.01

L3 9 SEA SSS FUL L1

=> d 1-9

L3 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 709046-36-6 REGISTRY  
ED Entered STN: 14 Jul 2004  
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C10 H17 N O2  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

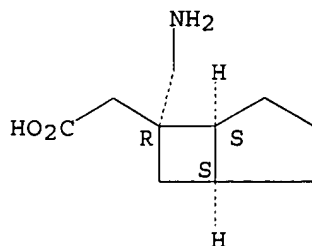


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473924-35-5 REGISTRY  
ED Entered STN: 19 Nov 2002  
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1S,5S,6R)- (9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C10 H17 N O2  
CI COM  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (+).

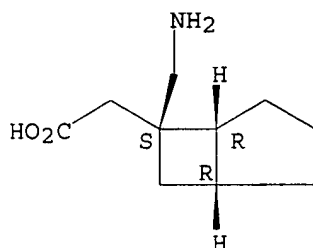


**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

6 REFERENCES IN FILE CA (1907 TO DATE)  
6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473924-33-3 REGISTRY  
ED Entered STN: 19 Nov 2002  
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1R,5R,6S) - (9CI)  
(CA INDEX NAME)  
OTHER NAMES:  
CN [(1R,5R,6S)-6-(Aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid  
FS STEREOSEARCH  
MF C10 H17 N O2  
CI COM  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (-).

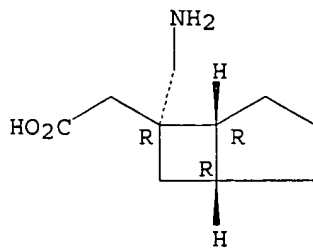


**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

22 REFERENCES IN FILE CA (1907 TO DATE)  
22 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473829-56-0 REGISTRY  
ED Entered STN: 18 Nov 2002  
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1R,5R,6R) -rel-  
(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C10 H17 N O2  
CI COM  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Relative stereochemistry.

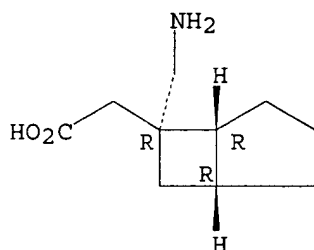


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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473829-38-8 REGISTRY  
ED Entered STN: 18 Nov 2002  
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(CA INDEX NAME)  
FS STEREOSEARCH  
MF C10 H17 N O2  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

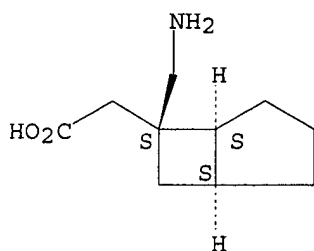


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)  
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473829-37-7 REGISTRY  
ED Entered STN: 18 Nov 2002  
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1S,5S,6S)- (9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C10 H17 N O2  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



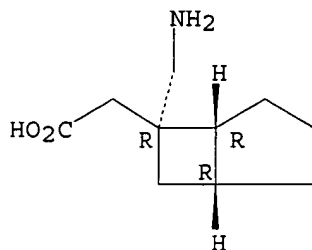
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)  
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473829-34-4 REGISTRY  
ED Entered STN: 18 Nov 2002  
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, hydrochloride,

(1R,5R,6R)-rel- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C10 H17 N O2 . Cl H  
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 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL  
 CRN (473829-56-0)

Relative stereochemistry.



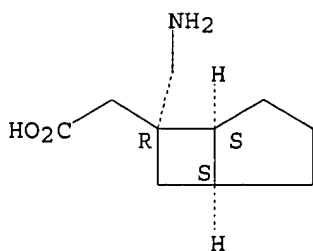
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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 473829-33-3 REGISTRY  
 ED Entered STN: 18 Nov 2002  
 CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, hydrochloride,  
 (1S,5S,6R)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C10 H17 N O2 . Cl H  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL  
 CRN (473924-35-5)

Absolute stereochemistry. Rotation (+).



● HCl

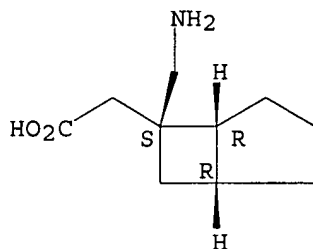
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-32-2 REGISTRY  
 ED Entered STN: 18 Nov 2002  
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 (1R,5R,6S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C10 H17 N O2 . Cl H  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL  
 CRN (473924-33-3)

Absolute stereochemistry. Rotation (-).



● HCl

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2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	184.48	185.11

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FILE COVERS 1907 - 25 Aug 2006 VOL 145 ISS 9  
 FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

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=> s 13

L4 22 L3



=> s 14 and (erectile or ejaculation)

2587 ERECTILE

1800 EJACULATION

L5 1 L4 AND (ERECTILE OR EJACULATION)

=> d bib abs

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:531342 CAPLUS

DN 141:88858

TI A preparation of aminocarboxylic acid derivatives as alpha-2-delta ligands, useful for the treatment of sexual dysfunction

IN Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen

PA Warner-Lambert Company LLC, USA

SO PCT Int. Appl., 39 pp.

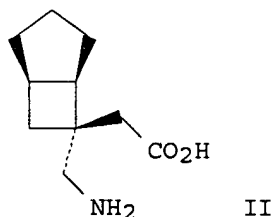
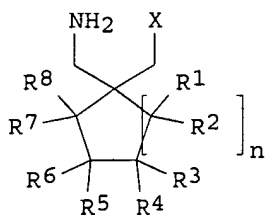
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004054563	A1	20040701	WO 2003-IB5682	20031203
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2451267	AA	20040613	CA 2003-2451267	20031127
	US 2004176456	A1	20040909	US 2003-726878	20031202
	CA 2509611	AA	20040701	CA 2003-2509611	20031203
	AU 2003283708	A1	20040709	AU 2003-283708	20031203
	EP 1572183	A1	20050914	EP 2003-775689	20031203
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	BR 2003016753	A	20051025	BR 2003-16753	20031203
	CN 1726015	A	20060125	CN 2003-80105968	20031203
	CN 1726021	A	20060125	CN 2003-80106009	20031203
	JP 2006515587	T2	20060601	JP 2004-560041	20031203
	US 2004132636	A1	20040708	US 2003-731605	20031209
	US 2004180958	A1	20040916	US 2003-732613	20031210
	US 2004143014	A1	20040722	US 2003-735398	20031212
PRAI	US 2002-433491P	P	20021213		
	GB 2003-2657	A	20030205		
	US 2003-454074P	P	20030312		
	WO 2003-IB5682	W	20031203		
OS	MARPAT 141:88858				
GI					



AB The invention relates to a preparation of aminocarboxylic acid derivs., e.g. I [wherein: R1, R2, R3, R4, R5, R6, R7, and R8 are independently selected from H or C1-6alkyl, or R8 and R6 or R6 and R4 are taken together to form C3-7 cycloalkyl ring, etc.; n = 0-2; X is a carboxylic acid or carboxylic acid bioisostere], as alpha-2-delta ligands, useful for the treatment of premature ejaculation. For instance, delayed ejaculation in the presence of alpha-2-delta ligand II and effect of compound II on copulatory behavior in rapid ejaculating rats were demonstrated. Compound II increased ejaculation latency by 58% in rapidly ejaculating conscious rats.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	7.56	192.67
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-0.75	-0.75

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FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Aug 18, 2006 (20060818/UP).

=> s 14

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The logic expression entered contains L#s or saved query names which correspond to structures built by the STRUCTURE command or to screen sets. These must be searched in a substance file such as the REGISTRY file. In some files you may use a Registry Number answer set from a structure search as a search term or profile in some bibliographic file containing Registry Numbers, e.g. the CA file. For an explanation, enter "HELP CROSSOVER" at an arrow prompt (=>).

=> FIL CAPLUS

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.42	193.09
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-0.75

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FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

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=> DIS L4 1 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1170963 CAPLUS

DOCUMENT NUMBER: 143:440755

TITLE: Combinations comprising  $\alpha$ -2- $\delta$  ligands and  
NMDA receptor antagonists

INVENTOR(S): Hizue, Masanori; Imai, Aki; Toide, Katsuo

PATENT ASSIGNEE(S): Pfizer Japan, Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102390	A2	20051103	WO 2005-IB988	20050411
WO 2005102390	A3	20060511		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2004-564374P P 20040422

ABSTRACT:

The invention relates to a synergistic combination of an  $\alpha$ -2- $\delta$  ligand and an NMDA receptor antagonist (preferably an NR2B antagonist) or pharmaceutically-acceptable salts, esters or pharmaceutical compns. and their use in the treatment of pain, particularly neuropathic pain, and disorders of the central nervous system. Synthetic examples describe the preparation of  $\alpha$ -2- $\delta$  ligands, e.g., (3R,4R,5R)-3-amino-4,5-dimethylheptanoic acid, useful in the combinations of the invention. The combination of 3-methylgabapentin as  $\alpha$ -2- $\delta$  ligand and (-)-(R)-6-[2-[4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]-1-hydroxyethyl]-3,4-dihydro-2(1H)-quinolinone as NR2B antagonist produced synergy in ability to relieve neuropathic pain.

=> DIS L4 2 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:1170698 CAPLUS  
 DOCUMENT NUMBER: 143:446634  
 TITLE: Combinations comprising EP4-receptor antagonists and  $\alpha 2\delta$  ligands for treating pain  
 INVENTOR(S): Audoly, Laurent Pascal  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 267 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102389	A2	20051103	WO 2005-IB935	20050408
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2004-563863P P 20040420

ABSTRACT:

The present invention relates to a combination of an EP4-receptor antagonist (e.g. 4-[[[5-fluoro-2-(4-fluorophenoxy)pyridin-3-yl]carbonyl]amino]methyl]benzoic acid) and an  $\alpha 2\delta$  ligand (e.g. pregabalin), and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly inflammatory, neuropathic, visceral and nociceptive pain. Although neither the compds. nor the methods of preparation are claimed, many example preps. (many of which are reproduced from previously published patents) are included. 4-[(1S)-1-[[[5-chloro-2-(3-fluorophenoxy)pyridin-3-yl]carbonyl]amino]ethyl]benzoic acid and pregabalin were tested for effectiveness against carrageenan-induced mech. hyperalgesia and the combination was significantly more effective than either substance alone.

=> DIS L4 3 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:1075617 CAPLUS  
 DOCUMENT NUMBER: 143:367000  
 TITLE: Preparation of atypical antipsychotics for combinations with  $\alpha$ -2- $\delta$  ligands  
 INVENTOR(S): Field, Mark John; Williams, Richard Griffith  
 PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.  
 SOURCE: PCT Int. Appl., 57 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005092318	A1	20051006	WO 2005-IB510	20050224
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,				
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,				
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,				
MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2004-5200 A 20040308  
US 2004-560416P P 20040407

ABSTRACT:

The instant invention relates to a combination, particularly a synergistic combination, of an  $\alpha$ -2- $\delta$  ligand and an atypical antipsychotic, and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly neuropathic pain.  
(3R,4R,5R)-3-amino-4,5-dimethylheptanoic acid, an atypical antipsychotic, was prepared via a series of reactions starting with (S)-3-[(E)-2-methylpent-2-enoyl]-4-phenyloxazolidin-2-one. Example  $\alpha$ -2- $\delta$  ligands include gabapentin.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 4 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:493505 CAPLUS

DOCUMENT NUMBER: 143:32337

TITLE: Calcium carbonate for stabilizing solid pharmaceutical compositions of amino acids

INVENTOR(S): Razzano, Elena

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051384	A1	20050609	WO 2004-IB3743	20041112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
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TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,				
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,				
NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2003-27389 A 20031125  
US 2004-535845P P 20040112

OTHER SOURCE(S): MARPAT 143:32337

ABSTRACT:

The present invention relates to the use of calcium carbonate as a stabilizing agent in solid pharmaceutical compns. comprising an amino acid as the pharmaceutically active agent, to the stabilized pharmaceutical compns. resulting therefrom and processes for their preparation Thus, tablets were prepared containing (+)-(2S)-5-amino-2-[(1-n-propyl-1H-imidazol-4-yl)methyl]pentanoic acid (active component) 31.13 mg, microcryst. cellulose 32.31 mg, calcium carbonate 32.31 mg, croscarmellose sodium 3.00 mg, and magnesium stearate 1.25 mg. Tablets stored at 40° and 75% relative humidity for 12 wk showed the presence of 98.9% of the active component.

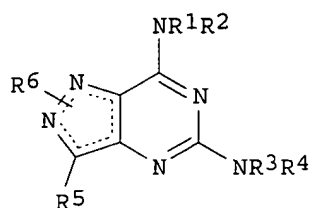
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 5 IBIB IABS  
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

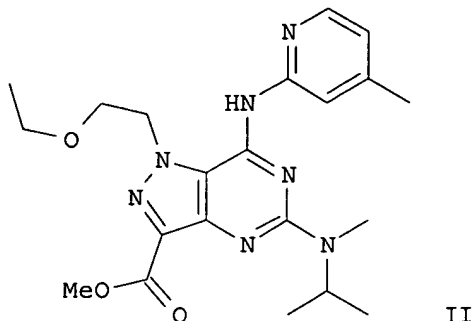
L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:472159 CAPLUS  
DOCUMENT NUMBER: 143:26627  
TITLE: Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines with phosphodiesterase-5 (PDE5) inhibiting activity  
INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Dack, Kevin Neil; Fox, David Nathan Abraham; Marsh, Ian Roger; Morrell, Andrew Ian; Palmer, Michael John; Winslow, Carol Ann  
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.  
SOURCE: PCT Int. Appl., 282 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049616	A1	20050602	WO 2004-IB3747	20041112
WO 2005049616	C1	20060601		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004290643	A1	20050602	AU 2004-290643	20041112
CA 2546987	AA	20050602	CA 2004-2546987	20041112
EP 1689751	A1	20060816	EP 2004-798876	20041112
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			
NL 1027568	A1	20050526	NL 2004-1027568	20041123
NL 1027568	C2	20051130		
US 2005245544	A1	20051103	US 2004-997191	20041124
PRIORITY APPLN. INFO.:			GB 2003-27319	A 20031124
			US 2004-535797P	P 20040112
			WO 2004-IB3747	W 20041112
OTHER SOURCE(S):	MARPAT 143:26627			
GRAPHIC IMAGE:				



I



II

# ABSTRACT:

Title compds. [I; R1 = (substituted) cyclic group; R2 = H, alkyl; R3, R4 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R5 = YCO2R15, YR16; R6 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.; Y = bond, CH2OCH2, alkylene, cycloalkylene; R15 = H, (substituted) alkyl; R16 = tetrazolyl, trifluoromethyltriazolyl, methylsulfonyltriazolyl, etc.; dotted lines = double bonds to form an aromatic ring], were prepared Thus, title compound (II) (preparation given) inhibited PDE-5 with IC50 = 0.075 nM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 6 IBIB IABS  
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:259678 CAPLUS  
DOCUMENT NUMBER: 142:341889  
TITLE: Pharmaceuticals containing combinations of an acetylcholine esterase inhibitor and  $\alpha$ -2- $\delta$  receptor ligands  
INVENTOR(S): Field, Mark John; Williams, Richard Griffith  
PATENT ASSIGNEE(S): UK  
SOURCE: U.S. Pat. Appl. Publ., 25 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005065176	A1	20050324	US 2004-936416	20040908
CA 2539377	AA	20050331	CA 2004-2539377	20040908
WO 2005027975	A1	20050331	WO 2004-IB2981	20040908

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1667722 A1 20060614 EP 2004-769370 20040908  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK  
 PRIORITY APPLN. INFO.: GB 2003-22140 A 20030922  
 WO 2004-IB2981 W 20040908

ABSTRACT:

The instant invention relates to a combination of  $\alpha$ -2- $\delta$  ligand and an AChE inhibitor for use in therapy, particularly in the treatment of pain, particularly neuropathic pain. Particularly preferred  $\alpha$ -2- $\delta$  ligands are gabapentin and pregabalin. Particularly preferred ACHE inhibitors are donepezil (Aricept), tacrine (Cognex), rivastigmine (Exelon), physostigmine (Synapton), galantamine (Reminyl), metrifonate (Promem), neostigmine (Prostigmin) and icopezil. Thus pessary compns. contained the above ingredient 250, anhydrous dextrose 380, potato starch 363, and Mg stearate 7 mg. The preparation of some of the compds. is given.

=> DIS L4 7 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

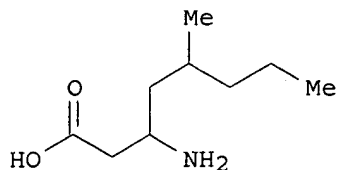
ACCESSION NUMBER: 2005:238701 CAPLUS  
 DOCUMENT NUMBER: 142:316826  
 TITLE: A preparation of combinations comprising alpha-2-delta ligands and dual serotonin-noradrenaline reuptake inhibitors, useful for treatment of pain  
 INVENTOR(S): Dooley, David James; Field, Mark John; Williams, Richard Griffith  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 23 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005059715	A1	20050317	US 2004-935824	20040908
AU 2004271800	A1	20050324	AU 2004-271800	20040906
CA 2537402	AA	20050324	CA 2004-2537402	20040906
WO 2005025675	A1	20050324	WO 2004-IB2943	20040906
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP 1663398 A1 20060607 EP 2004-769341 20040906  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
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 PRIORITY APPLN. INFO.: US 2003-502556P P 20030912  
 WO 2004-IB2943 W 20040906

GRAPHIC IMAGE:





I

# ABSTRACT:

The invention relates to a combination, particularly a synergistic combination, of an alpha-2-delta ligand and a dual serotonin-noradrenaline reuptake inhibitor (DSNRI) or one or both of a selective serotonin reuptake inhibitor (SSRI) and a selective noradrenaline reuptake inhibitor (SNRI), and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly neuropathic pain (no biol. data). For instance, 3-amino-5-methyloctanoic acid hydrochloride (I•HCl) was prepared from (S)-citronellyl bromide in eight steps.

=> DIS L4 8 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:162040 CAPLUS

DOCUMENT NUMBER: 142:233358

TITLE: Pharmaceutical composition using a nicotinic receptor partial agonist-α2δ ligand combination for the treatment of obesity or to facilitate or promote weight loss

INVENTOR(S): Coe, Jotham W.; O'Neill, Brian T.; Sands, Steven B.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043406	A1	20050224	US 2004-870208	20040617
CA 2534271	AA	20050303	CA 2004-2534271	20040809
WO 2005018622	A1	20050303	WO 2004-IB2604	20040809
WO 2005018622	C1	20050428		
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EP 1658059	A1	20060524	EP 2004-744239	20040809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-497353P	P 20030822

## ABSTRACT:

Pharmaceutical compns. are disclosed for the treatment of obesity, an overweight condition and compulsive overeating. The pharmaceutical compns. are comprised of a therapeutically effective combination of a nicotinic receptor partial agonist and an  $\alpha 2\delta$  ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

=> DIS L4 9 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:162035 CAPLUS

DOCUMENT NUMBER: 142:233377

TITLE: Pharmaceutical composition and method using a combination of an opioid receptor antagonist and an  $\alpha 2\delta$  ligand for the prevention and treatment of addiction in a mammal

INVENTOR(S): Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy, Stanton Furst; McLean, Stafford

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043345	A1	20050224	US 2004-870821	20040617
CA 2535814	AA	20050303	CA 2004-2535814	20040809
WO 2005018670	A1	20050303	WO 2004-IB2602	20040809
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1658098	A1	20060524	EP 2004-744237	20040809
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PRIORITY APPLN. INFO.:			US 2003-497372P	P 20030822
			WO 2004-IB2602	W 20040809

## ABSTRACT:

Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine dependence or addiction, tobacco dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of alc. use or substance abuse or other behavioral dependencies including gambling. The pharmaceutical compns. are comprised of a therapeutically effective combination of an opioid receptor antagonist and an  $\alpha 2\delta$  ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

=> DIS L4 10 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:160850 CAPLUS  
DOCUMENT NUMBER: 142:233374  
TITLE: Pharmaceutical composition using a combination of a  
nicotinic receptor partial agonist and an  
 $\alpha 28$  ligand for the prevention and  
treatment of addiction in a mammal  
INVENTOR(S): Coe, Jotham W.; Sands, Steven B.  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: U.S. Pat. Appl. Publ., 21 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043407	A1	20050224	US 2004-879616	20040629
CA 2535811	AA	20050303	CA 2004-2535811	20040809
WO 2005018621	A1	20050303	WO 2004-IB2603	20040809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

EP 1658058	A1	20060524	EP 2004-744238	20040809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: US 2003-497350P P 20030822  
WO 2004-IB2603 W 20040809

ABSTRACT:

Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine  
dependence or addiction, alc. dependence or addiction, reduction of alc. withdrawal  
symptoms or aiding in the cessation or lessening of tobacco use or substance  
abuse or other behavioral dependencies. The pharmaceutical compns. are  
comprised of a therapeutically effective combination of a nicotinic receptor  
partial agonist and an  $\alpha 28$  ligand and a pharmaceutically acceptable  
carrier. The method of using these compds. is also disclosed.

=> DIS L4 11 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:17019 CAPLUS  
DOCUMENT NUMBER: 142:107448  
TITLE: Combination of an allosteric inhibitor of matrix  
metalloproteinase-13 and a ligand to an alpha-2-delta  
receptor  
INVENTOR(S): Roark, William Howard  
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA  
SOURCE: U.S. Pat. Appl. Publ., 44 pp.  
CODEN: USXXCO

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005004177	A1	20050106	US 2004-883899	20040702
WO 2005002585	A1	20050113	WO 2004-IB2075	20040621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1680125	A1	20060719	EP 2004-737084	20040621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-484577P	P 20030702
			WO 2004-IB2075	W 20040621

OTHER SOURCE(S): MARPAT 142:107448

ABSTRACT:

This invention relates to a combination of an allosteric inhibitor of matrix metalloproteinase-13 (MMP-13), or a pharmaceutically acceptable salt thereof, and a ligand to an alpha-2-delta receptor, or a pharmaceutically acceptable salt thereof, a pharmaceutical composition comprising the combination, and a method of using the combination to treat a disease or disorder in a mammal responsive to treatment in one aspect by an allosteric inhibitor of MMP-13 and in the same or a different aspect by a ligand to an alpha-2-delta receptor, such as cartilage damage and joint diseases. Preparation of 4-[[3-[2-(4-methoxybenzyl)-2H-tetrazol-5-yl]benzoylamino]methyl]benzoic acid as the allosteric inhibitor of MMP-13 is exemplified.

=> DIS L4 12 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:965255 CAPLUS

DOCUMENT NUMBER: 141:410950

TITLE: Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines as selective PDE5 inhibitors useful in the treatment of hypertension

INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Fox, David Nathan Abraham; Marsh, Ian Roger; Morrell, Andrew Ian; Palmer, Michael John; Winslow, Carol Ann

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 279 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096810	A1	20041111	WO 2004-IB1433	20040422
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004234158	A1	20041111	AU 2004-234158	20040422
CA 2523831	AA	20041111	CA 2004-2523831	20040422
EP 1620437	A1	20060201	EP 2004-728868	20040422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009903	A	20060425	BR 2004-9903	20040422
CN 1780841	A	20060531	CN 2004-80011467	20040422
NL 1026074	A1	20041101	NL 2004-1026074	20040428
NL 1026074	C2	20050809		
US 2005043325	A1	20050224	US 2004-834484	20040429
NO 2005004404	A	20051124	NO 2005-4404	20050922
PRIORITY APPLN. INFO.:			GB 2003-9780	A 20030429
			GB 2003-27748	A 20031128
			US 2003-476678P	P 20030606
			US 2004-538147P	P 20040120
			WO 2004-IB1433	A 20040422

OTHER SOURCE(S): MARPAT 141:410950  
 GRAPHIC IMAGE:

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

# ABSTRACT:

Title compds. I [wherein R1 = (un)substituted cycloalkyl, cycloalkenyl, (un)substituted pyridin-2-yl, (un)fused Ph, etc.; R2 = H, alkyl; R3, R4 = independently (un)substituted alkyl, alkenyl, cycloalkyl, etc.; or NR3R4 = piperazin-1-yl, monocyclic, saturated polycyclic; R5 = (un)substituted halo/alkyl, alkenyl, alkynyl, cycloalkyl; R6 = H, (un)substituted alkyl, haloalkyl, alkenyl, alkynyl, etc.] were prepared as selective PDE5 inhibitors. For example, II•2HCl was prepared from (4-Methylpyridin-2-yl)amine, dichloride III (general preparation given), and tert-Bu piperazine-1-carboxylate. I gave IC50 values < 10,000 nM in an in vitro assay for PDE5 inhibition. Thus, I are used for treating hypertension.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 13 IBIB IABS  
 THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:531356 CAPLUS  
 DOCUMENT NUMBER: 141:65106  
 TITLE: Calcium channel  $\alpha$ -2- $\delta$  subunit ligands to treat chronic obstructive pulmonary disease (COPD), chronic cough, and other diseases  
 INVENTOR(S): Bertrand, Claude Philippe; Chovet, Maria Emilia Pereira Chicau; Geppetti, Pierangelo; Taylor, Charles Price, Jr.; Thorpe, Andrew John; Wustrow, David Juergen  
 PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 53 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 9  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054577	A1	20040701	WO 2003-IB5640	20031203
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2451267	AA	20040613	CA 2003-2451267	20031127
US 2004176456	A1	20040909	US 2003-726878	20031202
AU 2003303037	A1	20040709	AU 2003-303037	20031203
CN 1726015	A	20060125	CN 2003-80105968	20031203
CN 1726021	A	20060125	CN 2003-80106009	20031203
US 2004132636	A1	20040708	US 2003-731605	20031209
US 2004180958	A1	20040916	US 2003-732613	20031210
US 2004143014	A1	20040722	US 2003-735398	20031212
PRIORITY APPLN. INFO.:			US 2002-433491P	P 20021213
			GB 2003-2657	A 20030205
			US 2003-454074P	P 20030312
			WO 2003-IB5640	W 20031203

OTHER SOURCE(S): MARPAT 141:65106

ABSTRACT:

The invention discloses the use of an calcium channel  $\alpha$ -2- $\delta$  subunit ligand in the treatment of chronic obstructive pulmonary disease (COPD) and diseases associated with a diagnosis of COPD, and particularly to the treatment of chronic cough, which may be unrelated to COPD. Compound preparation is included.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 14 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:531342 CAPLUS

DOCUMENT NUMBER: 141:88858

TITLE: A preparation of aminocarboxylic acid derivatives as alpha-2-delta ligands, useful for the treatment of sexual dysfunction

INVENTOR(S): Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

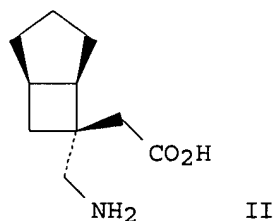
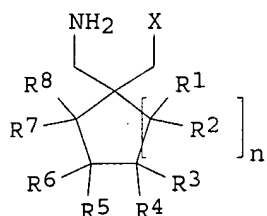
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004054563	A1	20040701	WO 2003-IB5682	20031203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2451267	AA	20040613	CA 2003-2451267	20031127
US 2004176456	A1	20040909	US 2003-726878	20031202
CA 2509611	AA	20040701	CA 2003-2509611	20031203
AU 2003283708	A1	20040709	AU 2003-283708	20031203
EP 1572183	A1	20050914	EP 2003-775689	20031203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016753	A	20051025	BR 2003-16753	20031203
CN 1726015	A	20060125	CN 2003-80105968	20031203
CN 1726021	A	20060125	CN 2003-80106009	20031203
JP 2006515587	T2	20060601	JP 2004-560041	20031203
US 2004132636	A1	20040708	US 2003-731605	20031209
US 2004180958	A1	20040916	US 2003-732613	20031210
US 2004143014	A1	20040722	US 2003-735398	20031212
PRIORITY APPLN. INFO.:			US 2002-433491P	P 20021213
			GB 2003-2657	A 20030205
			US 2003-454074P	P 20030312
			WO 2003-IB5682	W 20031203

OTHER SOURCE(S): MARPAT 141:88858

GRAPHIC IMAGE:



# ABSTRACT:

The invention relates to a preparation of aminocarboxylic acid derivs., e.g. I [wherein: R1, R2, R3, R4, R5, R6, R7, and R8 are independently selected from H or C1-6alkyl, or R8 and R6 or R6 and R4 are taken together to form C3-7 cycloalkyl ring, etc.; n = 0-2; X is a carboxylic acid or carboxylic acid bioisostere], as alpha-2-delta ligands, useful for the treatment of premature ejaculation. For instance, delayed ejaculation in the presence of alpha-2-delta ligand II and effect of compound II on copulatory behavior in rapid ejaculating rats were demonstrated. Compound II increased ejaculation latency by 58% in rapidly ejaculating conscious rats.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 15 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:531340 CAPLUS

DOCUMENT NUMBER: 141:89004

TITLE: Use of alpha-2-delta ligands to treat lower urinary tract symptoms associated with overactive bladder or benign prostatic hyperplasia, and the preparation of 4-substituted pyrrolidine-2-carboxylic acid derivatives and other compounds as ligands for such use

INVENTOR(S): Taylor, Charles Price, Jr.; Thorpe, Andrew John; Westbrook, Simon Lempriere; Wustrow, David Juergen

PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

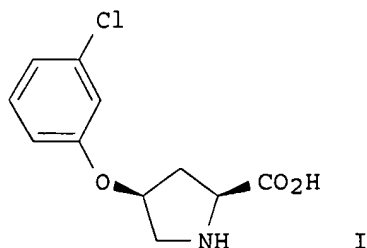
FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054560	A1	20040701	WO 2003-IB5729	20031203
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2509605	AA	20040701	CA 2003-2509605	20031203
AU 2003303041	A1	20040709	AU 2003-303041	20031203
EP 1572173	A1	20050914	EP 2003-813233	20031203
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003016572	A	20051004	BR 2003-16572	20031203
CN 1720029	A	20060111	CN 2003-80105291	20031203
JP 2006511606	T2	20060406	JP 2005-502472	20031203
US 2004180958	A1	20040916	US 2003-732613	20031210
NO 2005003355	A	20050711	NO 2005-3355	20050711
PRIORITY APPLN. INFO.:			US 2002-433491P	P 20021213
			GB 2003-2657	A 20030205
			US 2003-454074P	P 20030312
			WO 2003-IB5729	W 20031203

OTHER SOURCE(S): MARPAT 141:89004

GRAPHIC IMAGE:



ABSTRACT:

Disclosed is the use of an alpha-2-delta ligand, or a pharmaceutically



acceptable derivative thereof, for the manufacture of a medicament for the treatment of lower urinary tract symptoms (LUTS), other than urinary incontinence, which are associated with overactive bladder (OAB) and/or benign prostatic hyperplasia (BPH). Such use of approx. 35 specific compds. and/or their derivs. is claimed. For instance, (2S,4R)-4-hydroxypyrrolidine-1,2-dicarboxylic acid 1-tert-Bu 2-Me ester was etherified with 3-chlorophenol under Mitsunobu conditions (86%), followed by saponification of the Me ester with LiOH in aqueous THF (98%), and hydrolysis of the tert-Bu ester with HCl in dioxane/THF (86.7%), to give acid I, a use-claimed ligand, as the HCl salt, on a 7-kg scale. In tests of gabapentin, a well-known alpha-2-delta ligand, on the micturition reflex of anesthetized rats, a significant, dose-dependent increase in interval between voiding episodes was observed relative to control animals, with a reduction in voids per h from approx. 5 to <1.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 16 IBIB IABS  
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2004:513533 CAPLUS  
DOCUMENT NUMBER: 141:47364  
TITLE: Prodrugs of fused GABA analogs, pharmaceutical compositions and uses thereof  
INVENTOR(S): Gallop, Mark A.  
PATENT ASSIGNEE(S): Xenoport, Inc., USA  
SOURCE: PCT Int. Appl., 51 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052360	A1	20040624	WO 2003-US39701	20031211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003297927	A1	20040630	AU 2003-297927	20031211
US 2004147455	A1	20040729	US 2003-734689	20031211
US 7060727	B2	20060613		
PRIORITY APPLN. INFO.:			US 2002-432871P	P 20021211
			US 2002-433216P	P 20021212
			WO 2003-US39701	W 20031211

OTHER SOURCE(S): MARPAT 141:47364

#### ABSTRACT:

The present invention relates generally to prodrugs of fused GABA analogs, pharmaceutical compns. of prodrugs of fused GABA analogs, methods of making prodrugs of fused GABA analogs and methods of using prodrugs of fused GABA analogs and pharmaceutical compns. of prodrugs of fused GABA analogs to treat or prevent various diseases. Claimed compds. include (1 $\alpha$ ,3 $\alpha$ ,5 $\alpha$ ) (3-aminomethylbicyclo[3.2.0]hept-3-yl)acetic acid

and [(1S,5S,6R)-6-aminomethylbicyclo[3.2.0]hept-6-yl]acetic acid.

=> DIS L4 17 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:308400 CAPLUS

DOCUMENT NUMBER: 140:287120

TITLE: Preparation of cyclic nitromethyl acetic acid derivatives

INVENTOR(S): Derrick, Andrew Michael

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031124	A1	20040415	WO 2003-IB4249	20030922
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
CA 2498186	AA	20040415	CA 2003-2498186	20030922
AU 2003263517	A1	20040423	AU 2003-263517	20030922
EP 1556334	A1	20050727	EP 2003-799026	20030922
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BR 2003014954	A	20050802	BR 2003-14954	20030922
JP 2006501297	T2	20060112	JP 2004-541074	20030922
US 2004116525	A1	20040617	US 2003-677837	20031002
US 6911555	B2	20050628		
PRIORITY APPLN. INFO.:			GB 2002-23072	A 20021004
			US 2002-421867P	P 20021028
			WO 2003-IB4249	W 20030922

OTHER SOURCE(S): MARPAT 140:287120

ABSTRACT:

The invention relates cyclic nitromethyl acetic acid derivs. for use as intermediates in the preparation of cyclic and bicyclic amino acids. Salts of (1R,5R,6S)-[6-(nitromethyl)bicyclo[3.2.0]hept-6-yl]acetic acid (I) or the racemate are claimed. Thus, condensation of (1R,5R)-bicyclo[3.2.0]heptan-6-one with tri-Et phosphonoacetate, followed by reaction with nitromethane and saponification, afforded nitro acid I, which was converted to the cyclohexylamine salt.

Reduction of the nitro group by hydrogenation over Pt/C afforded (1R,5R,6S)-[6-(aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 18 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:308394 CAPLUS

DOCUMENT NUMBER: 140:287119

TITLE: Preparation of bicyclo[3.2.0]hept-6-ylideneacetate intermediates in the synthesis of therapeutic fused bicyclic amino acids

INVENTOR(S): Gladwell, Iain Robert; Pettman, Alan John

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

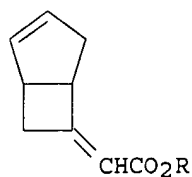
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

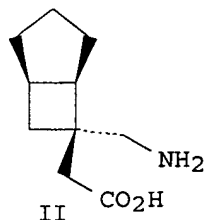
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031115	A1	20040415	WO 2003-IB4179	20030922
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CA 2499863	AA	20040415	CA 2003-2499863	20030922
AU 2003263487	A1	20040423	AU 2003-263487	20030922
EP 1551789	A1	20050713	EP 2003-799019	20030922
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003015046	A	20050816	BR 2003-15046	20030922
JP 2006501296	T2	20060112	JP 2004-541065	20030922
US 2004138498	A1	20040715	US 2003-677836	20031002
US 7018818	B2	20060328		
PRIORITY APPLN. INFO.:			GB 2002-23070	A 20021004
			US 2002-421868P	P 20021028
			WO 2003-IB4179	W 20030922

OTHER SOURCE(S): MARPAT 140:287119

GRAPHIC IMAGE:



I



II

#### ABSTRACT:

The invention presents compds. I (R is H or a suitable carboxylic acid-protecting group) or stereoisomers and their ring-saturated derivs., which are intermediates in the preparation of therapeutic fused bicyclic amino acids. The synthesis comprises reaction of bicyclo[3.2.0]heptan-6-one with a phosphonoacetate derivative. In the examples, (±)-Et bicyclo[3.2.0]hept-6-ylideneacetate was prepared from bicyclo[3.2.0]heptan-6-one and tri-Et phosphonoacetate and underwent subsequent

enzymic hydrolysis, esterification, nitromethylation, saponification, and hydrogenation to afford bicyclic amino acid II.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 19 IBIB IABS  
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2004:162589 CAPLUS  
DOCUMENT NUMBER: 140:193110  
TITLE: Fused bicyclic or tricyclic amino acids, their preparation, and their use in the treatment of fibromyalgia  
INVENTOR(S): Blakemore, David Clive; Bryans., Kistom. Stephen; Williams, Sophie Caroline  
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.; Bryans. Kistom. Stephen  
SOURCE: PCT Int. Appl., 77 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016260	A1	20040226	WO 2003-IB3546	20030806
WO 2004016260	C1	20040910		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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CA 2494811	AA	20040226	CA 2003-2494811	20030806
AU 2003250481	A1	20040303	AU 2003-250481	20030806
EP 1545491	A1	20050629	EP 2003-787963	20030806
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003013432	A	20050712	BR 2003-13432	20030806
JP 2005539092	T2	20051222	JP 2005-502021	20030806
US 2004092591	A1	20040513	US 2003-640547	20030813
PRIORITY APPLN. INFO.:			GB 2002-19024	A 20020815
			GB 2002-23067	A 20021004
			US 2002-421866P	P 20021028
			WO 2003-IB3546	W 20030806

OTHER SOURCE(S): MARPAT 140:193110

ABSTRACT:

The compds. of the invention are bicyclic or tricyclic amino acids useful in the treatment of fibromyalgia. Pharmaceutical compns. containing one or more of the compds. for use in the treatment of fibromyalgia are also included.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 20 IBIB IABS  
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2004:162588 CAPLUS  
DOCUMENT NUMBER: 140:210798  
TITLE: Synergistic combination of an  $\alpha 2\delta$  ligand  
and a PDEV inhibitor for use in the treatment of pain  
INVENTOR(S): Field, Mark John; Williams, Richard Griffith  
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.  
SOURCE: PCT Int. Appl., 96 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016259	A1	20040226	WO 2003-IB3476	20030804
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2495433	AA	20040226	CA 2003-2495433	20030804
AU 2003249476	A1	20040303	AU 2003-249476	20030804
EP 1536782	A1	20050608	EP 2003-787957	20030804
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003013484	A	20050621	BR 2003-13484	20030804
JP 2006502139	T2	20060119	JP 2004-528754	20030804
US 2004092591	A1	20040513	US 2003-640547	20030813
NO 2005000782	A	20050408	NO 2005-782	20050214
PRIORITY APPLN. INFO.:			GB 2002-19024	A 20020815
			GB 2002-23067	A 20021004
			US 2002-421866P	P 20021028
			WO 2003-IB3476	W 20030804

ABSTRACT:

The invention relates to a combination of an  $\alpha 2\delta$  ligand and a PDEV inhibitor for use in therapy, particularly in the curative, prophylactic or palliative treatment of pain, particularly neuropathic pain. Particularly preferred  $\alpha 2\delta$   $\alpha 2\delta$   $\alpha 2\delta$  ligands are gabapentin and pregabalin. Particularly preferred PDEV inhibitors are sildenafil, vardenafil and tadalafil. Combinations of gabapentin and sildenafil on CCI-induced allodynia showed synergic effects over those effects with the drugs administered alone. (3S,5R)-3-amino-5-methyloctanoic acid was prepared as an example of an  $\alpha 2\delta$  ligand.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 21 IBIB IABS  
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2003:678656 CAPLUS

DOCUMENT NUMBER: 139:202522  
 TITLE: Combinations of an alpha-2-delta ligand with a selective inhibitor of cyclooxygenase-2  
 INVENTOR(S): Taylor, Charles Price, Jr.  
 PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA  
 SOURCE: PCT Int. Appl., 135 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070237	A1	20030828	WO 2003-IB534	20030212
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CA 2476438	AA	20030828	CA 2003-2476438	20030212
AU 2003246864	A1	20030909	AU 2003-246864	20030212
EP 1480639	A1	20041201	EP 2003-742460	20030212
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BR 2003007906	A	20041221	BR 2003-7906	20030212
CN 1635887	A	20050706	CN 2003-804356	20030212
JP 2005523281	T2	20050804	JP 2003-569193	20030212
US 2003199567	A1	20031023	US 2003-366798	20030214
NO 2004003947	A	20040921	NO 2004-3947	20040921
PRIORITY APPLN. INFO.:			US 2002-359295P	P 20020222
			US 2002-404365P	P 20020819
			WO 2003-IB534	W 20030212

ABSTRACT:

The invention relates to a combination, comprising a selective inhibitor of COX-2, or a pharmaceutically acceptable salt thereof, and a ligand for calcium channel  $\alpha 2\delta$  subunit, or a pharmaceutically acceptable salt thereof, and valdecoxib. Examples of selective inhibitors of COX-2 include valdecoxib, rofecoxib, and celecoxib. Examples of  $\alpha 2\delta$  ligands include gabapentin, pregabalin, (3S,4S)-(1-aminomethyl-3,4-dimethyl-cyclopentyl)-acetic acid, and 3-(1-aminomethyl-cyclohexymethyl)-4H-[1,2,4]oxadiazol-5-one hydrochloride (I). The combinations are useful for treating certain diseases including cartilage damage, inflammation, pain, and arthritis. For example, capsules containing 25 mg each of valdecoxib and I were prepared

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 22 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:832747 CAPLUS

DOCUMENT NUMBER: 137:338131

TITLE: Preparation of fused bicyclic or tricyclic amino acids

INVENTOR(S): Blakemore, David Clive; Bryans, Justin Stephen; Williams, Sophie Caroline

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 92 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085839	A1	20021031	WO 2002-IB1146	20020403
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GB 2374595	A1	20021023	GB 2001-9635	20010419
CA 2444053	AA	20021031	CA 2002-2444053	20020403
EP 1379494	A1	20040114	EP 2002-716996	20020403
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EE 200300517	A	20040415	EE 2003-517	20020403
BR 2002008922	A	20040420	BR 2002-8922	20020403
JP 2004527544	T2	20040909	JP 2002-583367	20020403
NZ 528151	A	20050429	NZ 2005-528151	20020403
CN 1720219	A	20060111	CN 2002-808445	20020403
US 2003078300	A1	20030424	US 2002-124210	20020416
US 6596900	B2	20030722		
ZA 2003007097	A	20040913	ZA 2003-7097	20030911
BG 108182	A	20040930	BG 2003-108182	20030917
NO 2003004642	A	20031209	NO 2003-4642	20031017
PRIORITY APPLN. INFO.:			GB 2001-9635	A 20010419
			GB 2001-25807	A 20011026
			WO 2002-IB1146	W 20020403

OTHER SOURCE(S): MARPAT 137:338131

# ABSTRACT:

Bicyclic or tricyclic amino acids were prepared for use in the treatment of epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, arthritis, neuropathol. disorders, sleep disorders, visceral pain disorders, and gastrointestinal disorders. Pharmaceutical compns. containing one or more of the compds. are also included. Thus, [(1R,5R,6S)-6-(aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid hydrochloride was prepared by treating Me [(1R,5R,6S)-6-(isocyanatomethyl)bicyclo[3.2.0]hept-6-yl]acetate with 6N HCl under reflux for 18 h. The isocyanate was obtained from bicyclo[3.2.0]hept-2-en-6-one by a multistep procedure, which includes reaction of (1RS, 5RS)-bicyclo[3.2.0]heptan-6-one with Et cyanoacetate.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE  
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  
 CA SUBSCRIBER PRICE

SINCE FILE ENTRY	TOTAL SESSION
-16.50	-17.25

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LAST RELOADED: Aug 18, 2006 (20060818/UP).

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	ENTRY	SESSION
FULL ESTIMATED COST	0.66	263.69
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	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-17.25

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DICTIONARY FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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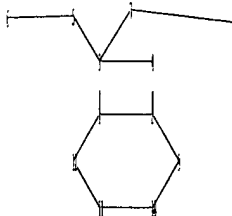
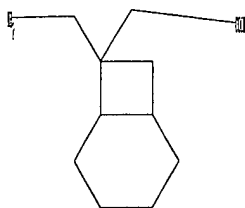
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chain nodes :  
5 6 7 8  
ring nodes :  
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chain bonds :  
3-5 3-7 5-6 7-8  
ring bonds :  
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exact/norm bonds :  
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exact bonds :  
3-5 3-7 7-8

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom  
11:Atom 12:Atom

L6 STRUCTURE UPLOADED

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FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
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PROJECTED ANSWERS: 1 TO 80

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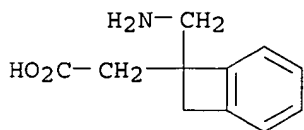
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SEARCH TIME: 00.00.01

L8 10 SEA SSS FUL L6

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L8 ANSWER 1 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 760140-93-0 REGISTRY  
ED Entered STN: 10 Oct 2004  
CN Bicyclo[4.2.0]octa-1,3,5-triene-7-acetic acid, 7-(aminomethyl)- (9CI) (CA  
INDEX NAME)  
FS 3D CONCORD  
MF C11 H13 N O2  
CI COM  
SR CA

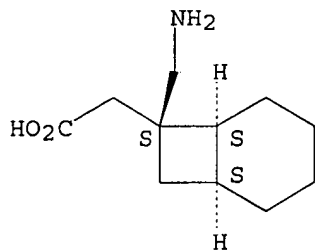


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L8 ANSWER 2 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473829-58-2 REGISTRY  
ED Entered STN: 18 Nov 2002  
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)-rel-  
(9CI) (CA INDEX NAME)

FS STEREOSEARCH  
 MF C11 H19 N O2  
 CI COM  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Relative stereochemistry.

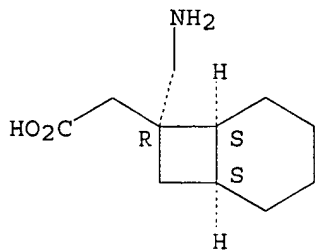


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 3 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 473829-57-1 REGISTRY  
 ED Entered STN: 18 Nov 2002  
 CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)-rel-  
 (9CI) (CA INDEX NAME)  
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 MF C11 H19 N O2  
 CI COM  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Relative stereochemistry.

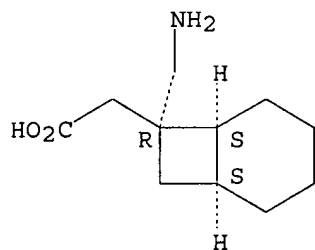


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 473829-42-4 REGISTRY  
 ED Entered STN: 18 Nov 2002  
 CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)-  
 (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C11 H19 N O2  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

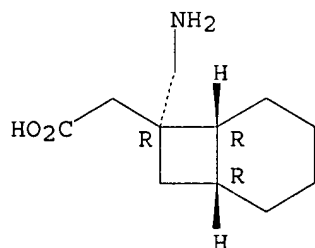


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)  
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473829-41-3 REGISTRY  
ED Entered STN: 18 Nov 2002  
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R) - (9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C11 H19 N O2  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

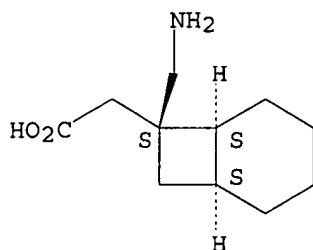


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5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473829-40-2 REGISTRY  
ED Entered STN: 18 Nov 2002  
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S) - (9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C11 H19 N O2  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

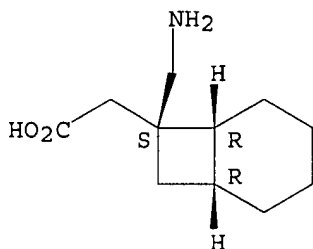


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)  
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 7 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473829-39-9 REGISTRY  
ED Entered STN: 18 Nov 2002  
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C11 H19 N O2  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

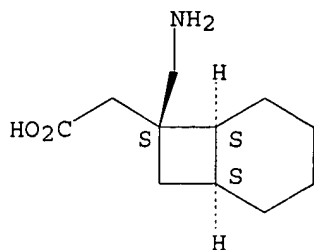


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)  
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 8 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473829-36-6 REGISTRY  
ED Entered STN: 18 Nov 2002  
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride,  
(1R,6R,7R)-rel- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C11 H19 N O2 . Cl H  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL  
CRN (473829-58-2)

Relative stereochemistry.



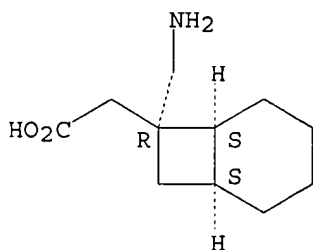
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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 473829-35-5 REGISTRY  
ED Entered STN: 18 Nov 2002  
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride,  
(1R,6R,7S)-rel- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C11 H19 N O2 . Cl H  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL  
CRN (473829-57-1)

Relative stereochemistry.



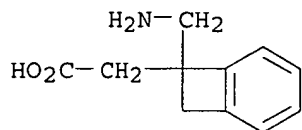
● HCl

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 132205-59-5 REGISTRY  
ED Entered STN: 22 Feb 1991  
CN Bicyclo[4.2.0]octa-1,3,5-triene-7-acetic acid, 7-(aminomethyl)-,  
hydrochloride (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Bicyclo[4.2.0]octa-1,3,5-triene-7-acetic acid, 7-(aminomethyl)-,  
hydrochloride, (±)-  
MF C11 H13 N O2 . Cl H

SR CA  
LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT  
(\*File contains numerically searchable property data)  
CRN (760140-93-0)



● HCl

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
185.94	449.63

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-17.25

CA SUBSCRIBER PRICE

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FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

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=> s l8

L9 6 L8

=> s l9 and (ejeculat? or erectile)

6 EJECULAT?

2587 ERECTILE

L10 0 L9 AND (EJECULAT? OR ERECTILE)

=> s 19 and (ejaculat? or erectile)  
5209 EJACULAT?  
2587 ERECTILE  
L11 1 L9 AND (EJACULAT? OR ERECTILE)

=> d

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:531342 CAPLUS  
DN 141:88858  
TI A preparation of aminocarboxylic acid derivatives as alpha-2-delta  
ligands, useful for the treatment of sexual dysfunction  
IN Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter  
Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen  
PA Warner-Lambert Company LLC, USA  
SO PCT Int. Appl., 39 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004054563	A1	20040701	WO 2003-IB5682	20031203
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2451267	AA	20040613	CA 2003-2451267	20031127
	US 2004176456	A1	20040909	US 2003-726878	20031202
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	AU 2003283708	A1	20040709	AU 2003-283708	20031203
	EP 1572183	A1	20050914	EP 2003-775689	20031203
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	BR 2003016753	A	20051025	BR 2003-16753	20031203
	CN 1726015	A	20060125	CN 2003-80105968	20031203
	CN 1726021	A	20060125	CN 2003-80106009	20031203
	JP 2006515587	T2	20060601	JP 2004-560041	20031203
	US 2004132636	A1	20040708	US 2003-731605	20031209
	US 2004180958	A1	20040916	US 2003-732613	20031210
	US 2004143014	A1	20040722	US 2003-735398	20031212
PRAI	US 2002-433491P	P	20021213		
	GB 2003-2657	A	20030205		
	US 2003-454074P	P	20030312		
	WO 2003-IB5682	W	20031203		
OS	MARPAT 141:88858				

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 19  
L12 6 L8

=> d 1-6 bib abs hitstr

L12 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2005:493505 CAPLUS  
DN 143:32337  
TI Calcium carbonate for stabilizing solid pharmaceutical compositions of

amino acids  
 IN Razzano, Elena  
 PA Pfizer Limited, UK; Pfizer Inc.  
 SO PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005051384	A1	20050609	WO 2004-IB3743	20041112
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI GB 2003-27389 A 20031125  
 US 2004-535845P P 20040112

OS MARPAT 143:32337

AB The present invention relates to the use of calcium carbonate as a stabilizing agent in solid pharmaceutical compns. comprising an amino acid as the pharmaceutically active agent, to the stabilized pharmaceutical compns. resulting therefrom and processes for their preparation Thus, tablets were prepared containing (+)-(2S)-5-amino-2-[(1-n-propyl-1H-imidazol-4-yl)methyl]pentanoic acid (active component) 31.13 mg, microcryst. cellulose 32.31 mg, calcium carbonate 32.31 mg, croscarmellose sodium 3.00 mg, and magnesium stearate 1.25 mg. Tablets stored at 40° and 75% relative humidity for 12 wk showed the presence of 98.9% of the active component.

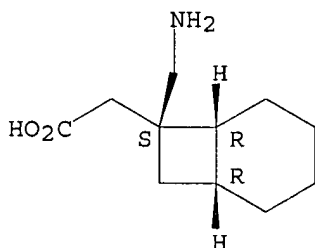
IT 473829-39-9 473829-40-2 473829-41-3  
 473829-42-4

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (calcium carbonate stabilization of amino acid-containing solid dosage forms)

RN 473829-39-9 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

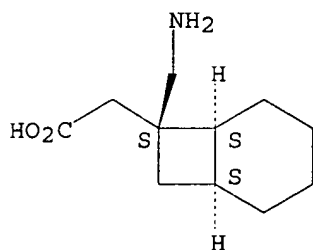


RN 473829-40-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)  
 (CA INDEX NAME)

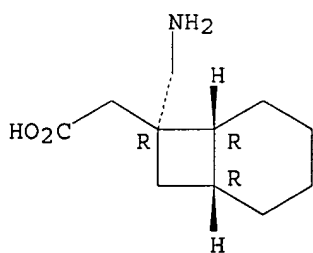
Absolute stereochemistry.





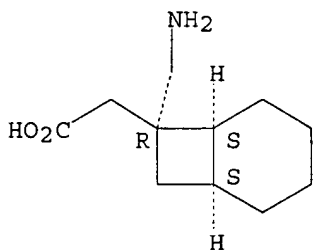
RN 473829-41-3 CAPLUS  
 CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 473829-42-4 CAPLUS  
 CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:531342 CAPLUS  
 DN 141:88858  
 TI A preparation of aminocarboxylic acid derivatives as alpha-2-delta  
 ligands, useful for the treatment of sexual dysfunction  
 IN Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter  
 Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen  
 PA Warner-Lambert Company LLC, USA  
 SO PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 9

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004054563	A1	20040701	WO 2003-IB5682	20031203

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

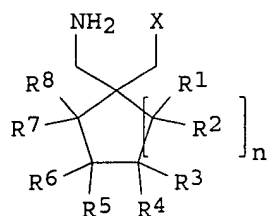
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US 2004176456	A1	20040909	US 2003-726878	20031202
CA 2509611	AA	20040701	CA 2003-2509611	20031203
AU 2003283708	A1	20040709	AU 2003-283708	20031203
EP 1572183	A1	20050914	EP 2003-775689	20031203

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

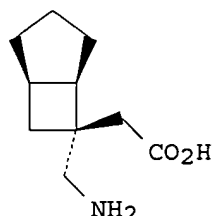
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CN 1726021	A	20060125	CN 2003-80106009	20031203
JP 2006515587	T2	20060601	JP 2004-560041	20031203
US 2004132636	A1	20040708	US 2003-731605	20031209
US 2004180958	A1	20040916	US 2003-732613	20031210
US 2004143014	A1	20040722	US 2003-735398	20031212

PRAI US 2002-433491P	P	20021213
GB 2003-2657	A	20030205
US 2003-454074P	P	20030312
WO 2003-1B5682	W	20031203

OS MARPAT 141:88858  
GI



I



II

AB The invention relates to a preparation of aminocarboxylic acid derivs., e.g. I [wherein: R1, R2, R3, R4, R5, R6, R7, and R8 are independently selected from H or C1-6alkyl, or R8 and R6 or R6 and R4 are taken together to form C3-7 cycloalkyl ring, etc.; n = 0-2; X is a carboxylic acid or carboxylic acid bioisostere], as alpha-2-delta ligands, useful for the treatment of premature ejaculation. For instance, delayed ejaculation in the presence of alpha-2-delta ligand II and effect of compound II on copulatory behavior in rapid ejaculating rats were demonstrated. Compound II increased ejaculation latency by 58% in rapidly ejaculating conscious rats.

IT 473829-39-9P 473829-40-2P 473829-41-3P  
473829-42-4P

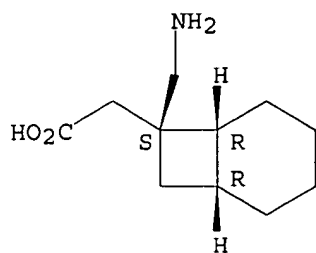
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminocarboxylic acid derivs. as alpha-2-delta ligands, useful for the treatment of sexual dysfunction)

RN 473829-39-9 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)  
(CA INDEX NAME)

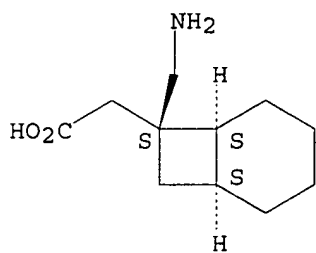
Absolute stereochemistry.



RN 473829-40-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S) - (9CI)  
(CA INDEX NAME)

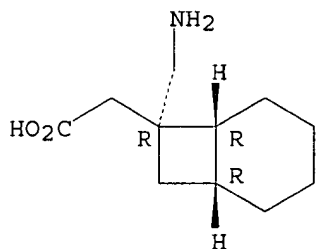
Absolute stereochemistry.



RN 473829-41-3 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R) - (9CI)  
(CA INDEX NAME)

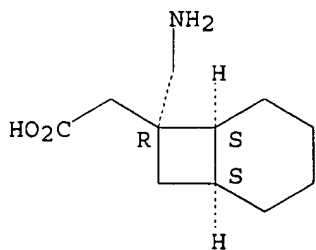
Absolute stereochemistry.



RN 473829-42-4 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R) - (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:531340 CAPLUS

DN 141:89004

TI Use of alpha-2-delta ligands to treat lower urinary tract symptoms associated with overactive bladder or benign prostatic hyperplasia, and the preparation of 4-substituted pyrrolidine-2-carboxylic acid derivatives and other compounds as ligands for such use

IN Taylor, Charles Price, Jr.; Thorpe, Andrew John; Westbrook, Simon Lempriere; Wustrow, David Juergen

PA Warner-Lambert Company Llc, USA

SO PCT Int. Appl., 59 pp.

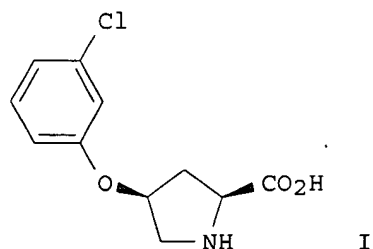
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004054560	A1	20040701	WO 2003-IB5729	20031203
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
	RW:			BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
	CA 2509605	AA	20040701	CA 2003-2509605	20031203
	AU 2003303041	A1	20040709	AU 2003-303041	20031203
	EP 1572173	A1	20050914	EP 2003-813233	20031203
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK	
	BR 2003016572	A	20051004	BR 2003-16572	20031203
	CN 1720029	A	20060111	CN 2003-80105291	20031203
	JP 2006511606	T2	20060406	JP 2005-502472	20031203
	US 2004180958	A1	20040916	US 2003-732613	20031210
	NO 2005003355	A	20050711	NO 2005-3355	20050711
PRAI	US 2002-433491P	P	20021213		
	GB 2003-2657	A	20030205		
	US 2003-454074P	P	20030312		
	WO 2003-IB5729	W	20031203		
OS	MARPAT 141:89004				
GI					



AB Disclosed is the use of an alpha-2-delta ligand, or a pharmaceutically acceptable derivative thereof, for the manufacture of a medicament for the treatment of lower urinary tract symptoms (LUTS), other than urinary incontinence, which are associated with overactive bladder (OAB) and/or benign prostatic hyperplasia (BPH). Such use of approx. 35 specific

comps. and/or their derivs. is claimed. For instance, (2S,4R)-4-hydroxypyrrolidine-1,2-dicarboxylic acid 1-tert-Bu 2-Me ester was etherified with 3-chlorophenol under Mitsunobu conditions (86%), followed by saponification of the Me ester with LiOH in aqueous THF (98%), and hydrolysis of the tert-Bu ester with HCl in dioxane/THF (86.7%), to give acid I, a use-claimed ligand, as the HCl salt, on a 7-kg scale. In tests of gabapentin, a well-known alpha-2-delta ligand, on the micturition reflex of anesthetized rats, a significant, dose-dependent increase in interval between voiding episodes was observed relative to control animals, with a reduction in voids per h from approx. 5 to <1.

IT 473829-39-9 473829-40-2 473829-41-3

473829-42-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

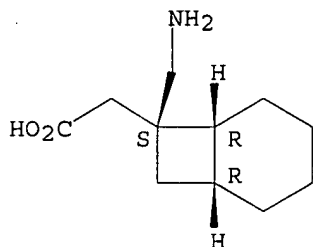
(Biological study); USES (Uses)

(drug use candidate; preparation of alpha-2-delta ligands to treat lower urinary tract symptoms)

RN 473829-39-9 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)  
(CA INDEX NAME)

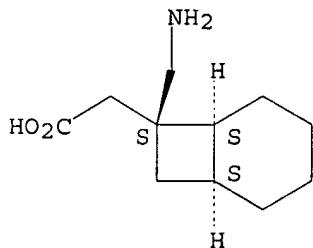
Absolute stereochemistry.



RN 473829-40-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)  
(CA INDEX NAME)

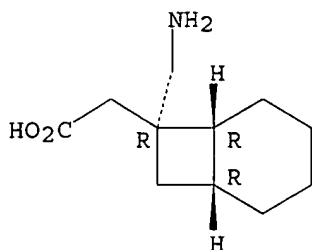
Absolute stereochemistry.



RN 473829-41-3 CAPLUS

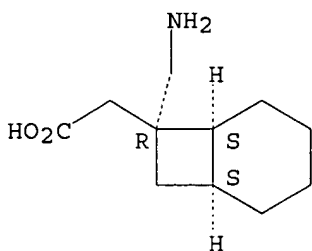
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 473829-42-4 CAPLUS  
 CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



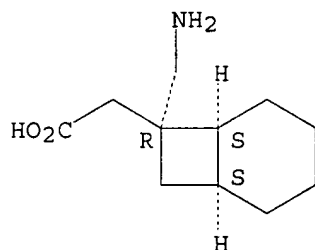
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:162589 CAPLUS  
 DN 140:193110  
 TI Fused bicyclic or tricyclic amino acids, their preparation, and their use  
 in the treatment of fibromyalgia  
 IN Blakemore, David Clive; Bryans., Kistom. Stephen; Williams, Sophie  
 Caroline  
 PA Pfizer Limited, UK; Pfizer Inc.; Bryans. Kistom. Stephen  
 SO PCT Int. Appl., 77 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016260	A1	20040226	WO 2003-IB3546	20030806
WO 2004016260	C1	20040910		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2494811	AA	20040226	CA 2003-2494811	20030806
AU 2003250481	A1	20040303	AU 2003-250481	20030806
EP 1545491	A1	20050629	EP 2003-787963	20030806
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003013432	A	20050712	BR 2003-13432	20030806

	JP 2005539092	T2	20051222	JP 2005-502021	20030806
	US 2004092591	A1	20040513	US 2003-640547	20030813
PRAI	GB 2002-19024	A	20020815		
	GB 2002-23067	A	20021004		
	US 2002-421866P	P	20021028		
	WO 2003-IB3546	W	20030806		
OS	MARPAT 140:193110				
AB	The compds. of the invention are bicyclic or tricyclic amino acids useful in the treatment of fibromyalgia. Pharmaceutical compns. containing one or more of the compds. for use in the treatment of fibromyalgia are also included.				
IT	473829-35-5P 473829-36-6P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(fused bicyclic or tricyclic amino acid preparation and use in treatment of fibromyalgia)				
RN	473829-35-5 CAPLUS				
CN	Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride, (1R,6R,7S)-rel- (9CI) (CA INDEX NAME)				

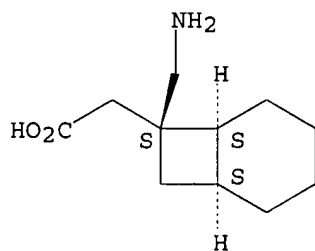
Relative stereochemistry.



● HCl

RN	473829-36-6 CAPLUS				
CN	Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride, (1R,6R,7R)-rel- (9CI) (CA INDEX NAME)				

Relative stereochemistry.



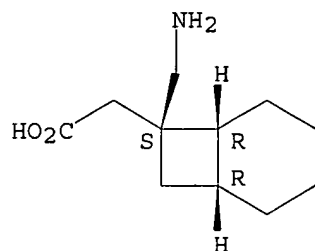
● HCl

IT	473829-39-9 473829-40-2 473829-41-3				
	473829-42-4 473829-57-1 473829-58-2				
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(fused bicyclic or tricyclic amino acid preparation and use in treatment of fibromyalgia)				

RN 473829-39-9 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)  
(CA INDEX NAME)

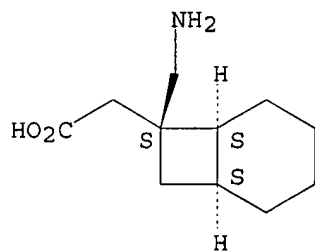
Absolute stereochemistry.



RN 473829-40-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)  
(CA INDEX NAME)

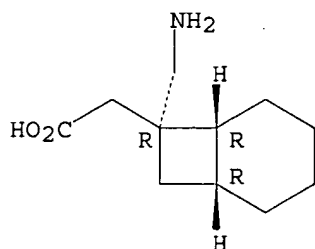
Absolute stereochemistry.



RN 473829-41-3 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

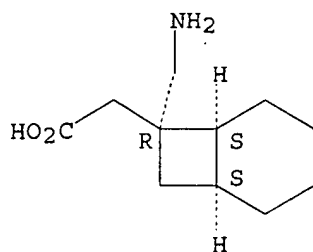


RN 473829-42-4 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)  
(CA INDEX NAME)

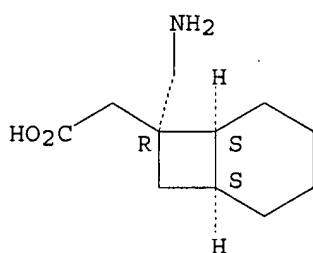
Absolute stereochemistry.





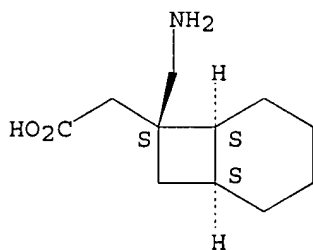
RN 473829-57-1 CAPLUS  
 CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)-rel-  
 (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 473829-58-2 CAPLUS  
 CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)-rel-  
 (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:832747 CAPLUS  
 DN 137:338131  
 TI Preparation of fused bicyclic or tricyclic amino acids  
 IN Blakemore, David Clive; Bryans, Justin Stephen; Williams, Sophie Caroline  
 PA Warner-Lambert Company, USA  
 SO PCT Int. Appl., 92 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085839	A1	20021031	WO 2002-IB1146	20020403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2374595	A1	20021023	GB 2001-9635	20010419
CA 2444053	AA	20021031	CA 2002-2444053	20020403
EP 1379494	A1	20040114	EP 2002-716996	20020403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300517	A	20040415	EE 2003-517	20020403
BR 2002008922	A	20040420	BR 2002-8922	20020403
JP 2004527544	T2	20040909	JP 2002-583367	20020403
NZ 528151	A	20050429	NZ 2005-528151	20020403
CN 1720219	A	20060111	CN 2002-808445	20020403
US 2003078300	A1	20030424	US 2002-124210	20020416
US 6596900	B2	20030722		
ZA 2003007097	A	20040913	ZA 2003-7097	20030911
BG 108182	A	20040930	BG 2003-108182	20030917
NO 2003004642	A	20031209	NO 2003-4642	20031017
PRAI GB 2001-9635	A	20010419		
GB 2001-25807	A	20011026		
WO 2002-IB1146	W	20020403		

OS MARPAT 137:338131

AB Bicyclic or tricyclic amino acids were prepared for use in the treatment of epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, arthritis, neuropathol. disorders, sleep disorders, visceral pain disorders, and gastrointestinal disorders. Pharmaceutical compns. containing one or more of the compds. are also included. Thus, [(1R,5R,6S)-6-(aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid hydrochloride was prepared by treating Me [(1R,5R,6S)-6-(isocyanatomethyl)bicyclo[3.2.0]hept-6-yl]acetate with 6N HCl under reflux for 18 h. The isocyanate was obtained from bicyclo[3.2.0]hept-2-en-6-one by a multistep procedure, which includes reaction of (1RS, 5RS)-bicyclo[3.2.0]heptan-6-one with Et cyanoacetate.

IT 473829-35-5P 473829-36-6P 473829-39-9P  
473829-40-2P 473829-41-3P 473829-42-4P  
473829-57-1P 473829-58-2P

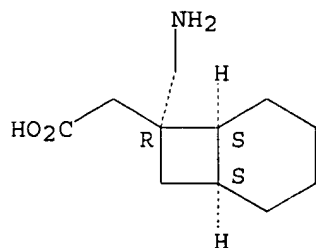
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused bicyclic or tricyclic amino acids)

RN 473829-35-5 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride, (1R,6R,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

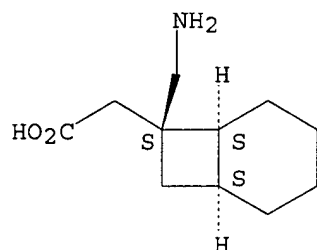


● HCl

RN 473829-36-6 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride,  
(1R,6R,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

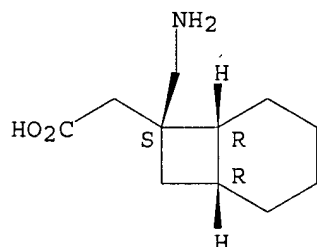


● HCl

RN 473829-39-9 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)  
(CA INDEX NAME)

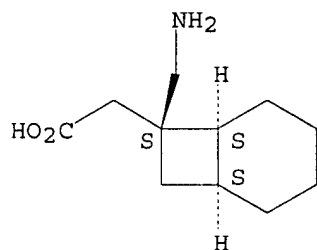
Absolute stereochemistry.



RN 473829-40-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)  
(CA INDEX NAME)

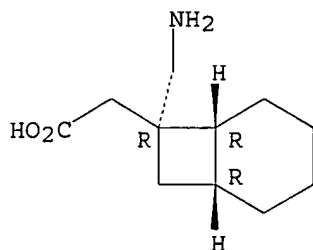
Absolute stereochemistry.



RN 473829-41-3 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)  
(CA INDEX NAME)

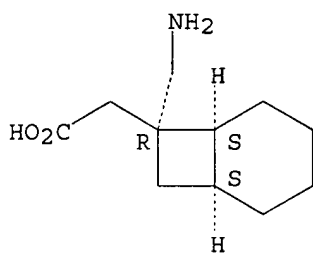
Absolute stereochemistry.



RN 473829-42-4 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)  
(CA INDEX NAME)

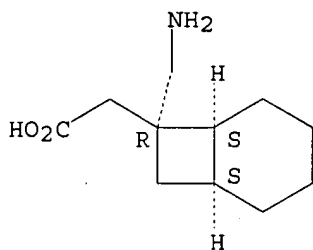
Absolute stereochemistry.



RN 473829-57-1 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)-rel-  
(9CI) (CA INDEX NAME)

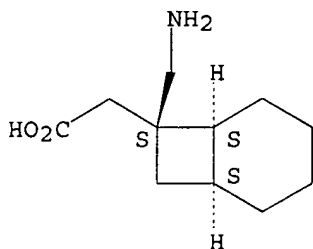
Relative stereochemistry.



RN 473829-58-2 CAPLUS

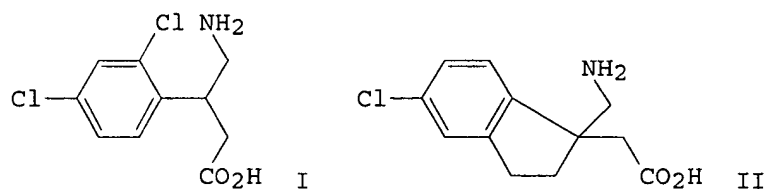
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)-rel-  
(9CI) (CA INDEX NAME)

Relative stereochemistry.



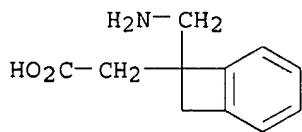
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1991:184942 CAPLUS  
 DN 114:184942  
 TI Synthesis and biochemical evaluation of baclofen analogs locked in the  
 baclofen solid-state conformation  
 AU Mann, Andre; Boulanger, Thierry; Brandau, Barbara; Durant, Francois;  
 Evrard, Guy; Heaulme, Michel; Desaulles, Eric; Wermuth, Camille Georges  
 CS Dep. Pharmacochim. Mol., Cent. Neurochim., Strasbourg, 67084, Fr.  
 SO Journal of Medicinal Chemistry (1991), 34(4), 1307-13  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 OS CASREACT 114:184942  
 GI



AB The synthesis of six close analogs of baclofen [3-(4-chlorophenyl)-4-aminobutyric acid] (BAC), a potent GABAB agonist, are reported. The compds. were designed starting from the structural informations contained in the solid state of BAC, regarded as a possible bioactive conformation, in which the p-chlorophenyl ring is perpendicular to the GABA backbone. A similar conformational situation was created by rigidifying the BAC structure by means of methylene, ethylene, or propylene units, or by introducing chlorine atoms into the ortho positions ("ortho effect"). Only compound I showed affinity for the GABAB receptor. Compound II, which was initially considered as representing the optimal mimic of the solid-state conformation of BAC, was surprisingly found inactive. An extensive conformational anal. was performed in order to evaluate their flexibility and the overlap of their conformational population with respect to BAC. For this purpose a distance map was generated from three possible pharmacophoric groups: the amino and the carboxylic functions, and the Ph ring. Finally, several explanations are proposed to account for the poor affinities of the prepared compds. such as steric hindrance or flexibility demand of the receptor.

IT 132205-59-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and binding by, of GABA receptor)  
 RN 132205-59-5 CAPLUS  
 CN Bicyclo[4.2.0]octa-1,3,5-triene-7-acetic acid, 7-(aminomethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

=> d his

(FILE 'HOME' ENTERED AT 06:01:18 ON 25 AUG 2006)

FILE 'REGISTRY' ENTERED AT 06:03:09 ON 25 AUG 2006

L1 STRUCTURE UPLOADED  
L2 1 S L1  
L3 9 S L2 FULL

FILE 'CAPLUS' ENTERED AT 06:04:08 ON 25 AUG 2006

L4 22 S L3  
L5 1 S L4 AND (ERECTILE OR EJACULATION)

FILE 'STNGUIDE' ENTERED AT 06:05:31 ON 25 AUG 2006

FILE 'CAPLUS' ENTERED AT 06:09:39 ON 25 AUG 2006

FILE 'STNGUIDE' ENTERED AT 06:22:32 ON 25 AUG 2006

FILE 'REGISTRY' ENTERED AT 06:29:16 ON 25 AUG 2006

L6 STRUCTURE UPLOADED  
L7 1 S L6  
L8 10 S L6 FULL

FILE 'CAPLUS' ENTERED AT 06:30:03 ON 25 AUG 2006

L9 6 S L8  
L10 0 S L9 AND (EJECULAT? OR ERECTILE)  
L11 1 S L9 AND (EJACULAT? OR ERECTILE)  
L12 6 S L9

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	52.02	501.65
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-4.50	-21.75

STN INTERNATIONAL LOGOFF AT 06:46:06 ON 25 AUG 2006